

10511742.trn

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LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 3 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 4 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents
NEWS 5 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 6 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 7 AUG 27 USPATOLD now available on STN
NEWS 8 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 9 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 10 SEP 13 FORIS renamed to SOFIS
NEWS 11 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 12 SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 13 SEP 17 CAplus coverage extended to include traditional medicine patents
NEWS 14 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 16 OCT 19 BEILSTEIN updated with new compounds
NEWS 17 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 18 NOV 19 WPIX enhanced with XML display format
NEWS 19 NOV 30 ICSD reloaded with enhancements
NEWS 20 DEC 04 LINPADOCDB now available on STN
NEWS 21 DEC 14 BEILSTEIN pricing structure to change
NEWS 22 DEC 17 USPATOLD added to additional database clusters
NEWS 23 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 24 DEC 17 DGENE now includes more than 10 million sequences
NEWS 25 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 26 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27 DEC 17 CA/CAplus enhanced with new custom IPC display formats
NEWS 28 DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 29 JAN 02 STN pricing information for 2008 now available

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

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NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 16:00:13 ON 07 JAN 2008

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n) :

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:00:25 ON 07 JAN 2008

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STRUCTURE FILE UPDATES: 6 JAN 2008 HIGHEST RN 960045-19-6
DICTIONARY FILE UPDATES: 6 JAN 2008 HIGHEST RN 960045-19-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

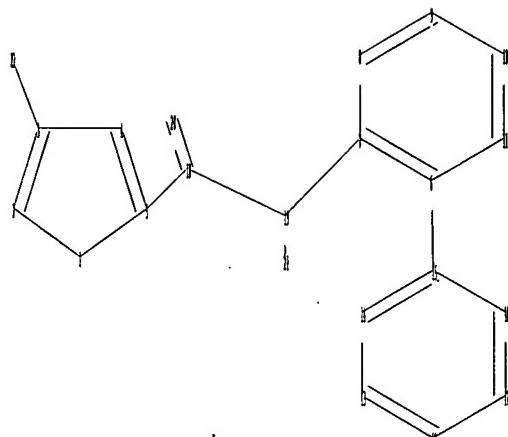
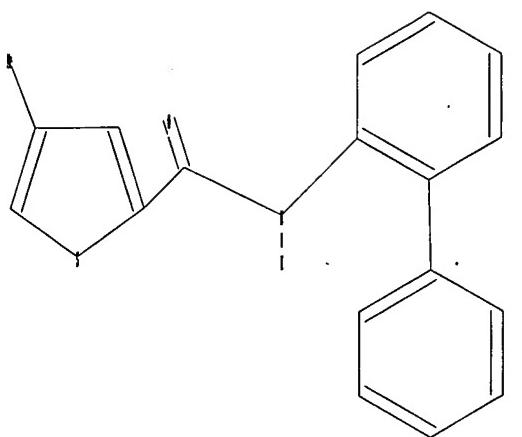
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

```
=> Uploading C:\Program Files\Stnexp\Queries\10511742.str
```

10511742.trn

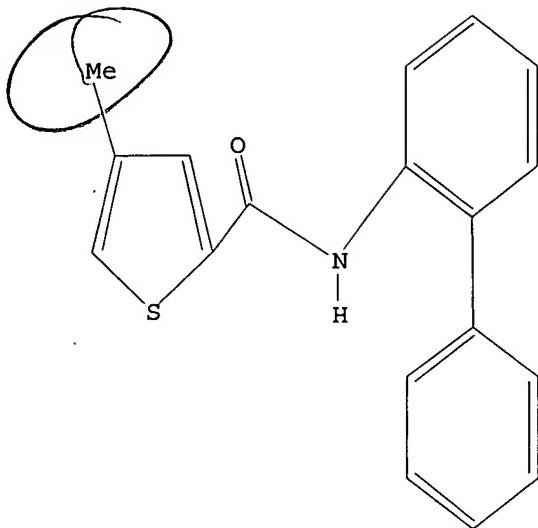


chain nodes :
18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
3-22 5-18 6-15 7-19 18-19 18-20 19-21
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
14-15 15-16 16-17
exact/norm bonds :
7-19 18-19 18-20
exact bonds :
1-2 1-5 2-3 3-4 3-22 4-5 5-18 6-15 19-21
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 6 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s 11
SAMPLE SEARCH INITIATED 16:00:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      31 TO ITERATE

100.0% PROCESSED      31 ITERATIONS          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE   **COMPLETE**
                      BATCH    **COMPLETE**
PROJECTED ITERATIONS:      286 TO     954
PROJECTED ANSWERS:         0 TO      0

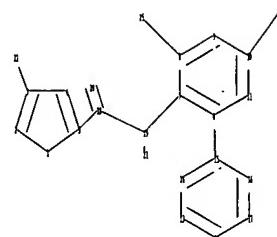
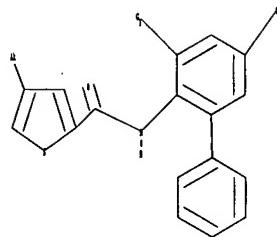
L2      0 SEA SSS SAM L1

=> s 11 sss full
FULL SEARCH INITIATED 16:00:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      573 TO ITERATE

100.0% PROCESSED      573 ITERATIONS          1 ANSWERS
SEARCH TIME: 00.00.01

L3      1 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10511742a.str
```



chain nodes :
 18 19 20 21 22 25 26
 ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
 chain bonds :
 3-22 5-18 6-15 7-19 8-25 10-26 18-19 18-20 19-21
 ring bonds :
 1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
 14-15 15-16 16-17
 exact/norm bonds :
 3-22 7-19 8-25 10-26 18-19 18-20
 exact bonds :
 1-2 1-5 2-3 3-4 4-5 5-18 6-15 19-21
 normalized bonds :
 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17
 isolated ring systems :
 containing 1 : 6 : 12 :

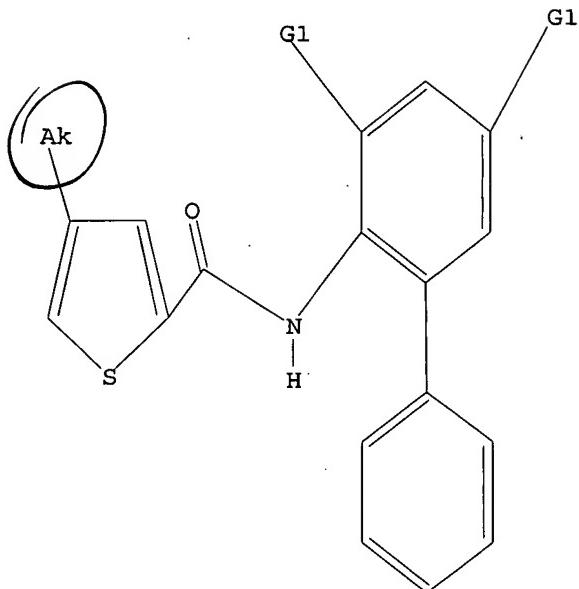
G1:H,Cl,Br,F,I,Ak

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
 20:CLASS 21:CLASS 22:CLASS 25:CLASS 26:CLASS

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L4 STRUCTURE UPLOADED

=> d 14
L4 HAS NO ANSWERS
L4 STR



G1 H,Cl,Br,F,I,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 14
SAMPLE SEARCH INITIATED 16:03:09 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 54 TO ITERATE

100.0% PROCESSED 54 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 640 TO 1520
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s 14 sss full
FULL SEARCH INITIATED 16:03:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 858 TO ITERATE

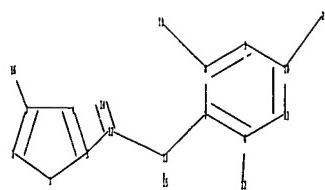
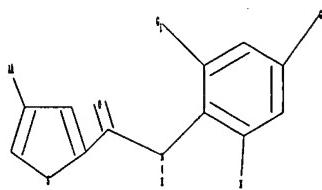
100.0% PROCESSED 858 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L6 1 SEA SSS FUL L4

=>

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Uploading C:\Program Files\Stnexp\Queries\10511742b.str



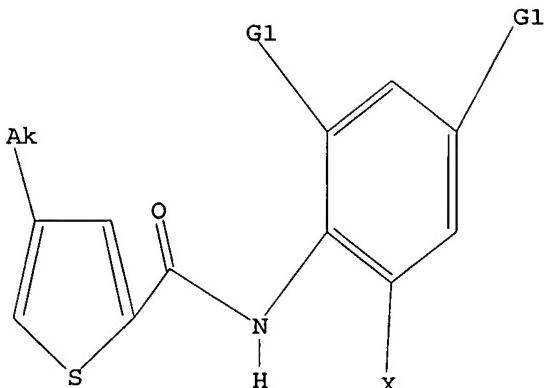
chain nodes :
12 13 14 15 16 19 20 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
3-16 5-12 6-22 7-13 8-19 10-20 12-13 12-14 13-15
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
3-16 7-13 8-19 10-20 12-13 12-14
exact bonds :
1-2 1-5 2-3 3-4 4-5 5-12 6-22 13-15
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

G1:H,Cl,Br,F,I,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 19:CLASS 20:CLASS
22:CLASS

L7 STRUCTURE UPLOADED

=> d 17
L7 HAS NO ANSWERS
L7 STR



G1 H, Cl, Br, F, I, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 17

SAMPLE SEARCH INITIATED 16:05:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2856 TO ITERATE

70.0% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

11 ANSWERS

FULL FILE PROJECTIONS: ONLINE * *COMPLETE*,
BATCH * *COMPLETE*,

PROJECTED ITERATIONS: 53915 TO 60325
PROJECTED ANSWERS: 77 TO 551

1.8 11 SEA SSS SAM 1.7

=> s 17 sss full

FULL SEARCH INITIATED 16:05:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 57836 TO ITERATE

100.0% PROCESSED 57836 ITERATIONS
SEARCH TIME: 00:00:01

352 ANSWERS

1.9 352 SEA SSS EUL 1.7

=> FTI, HC API PLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL.

[View all posts by **John Doe**](#) [View all posts in **Category A**](#) [View all posts in **Category B**](#)

ENTRY

SESSION

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FILE COVERS 1907 - 7 Jan 2008 VOL 148 ISS 2
FILE LAST UPDATED: 6 Jan 2008 (20080106/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 16:00:13 ON 07 JAN 2008)

FILE 'REGISTRY' ENTERED AT 16:00:25 ON 07 JAN 2008

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 1 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 0 S L4
L6 1 S L4 SSS FULL
L7 STRUCTURE UPLOADED
L8 11 S L7
L9 352 S L7 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:05:26 ON 07 JAN 2008

=> s 13
L10 0 L3

=> s 16
L11 0 L6

=> s 19
L12 1 L9

=> d 112 ibib abs hitstr tot

L12 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1036526 HCAPLUS
DOCUMENT NUMBER: 145:397539
TITLE: Preparation of ethynylpyrimidine derivatives as Tie2 receptor tyrosine kinase inhibitors for the treatment of cancer
INVENTOR(S): Jones, Clifford David; Luke, Richard William Arthur; McCoull, William
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 135pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

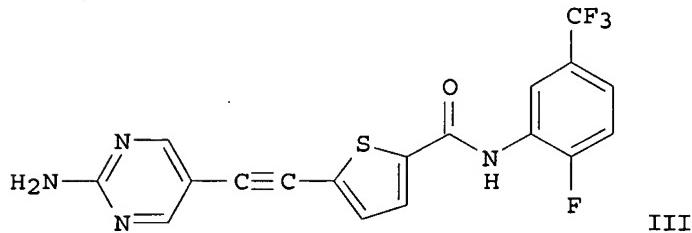
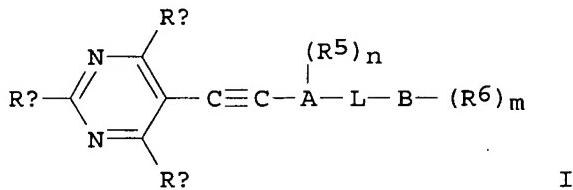
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

WO 2006103449	A2	20061005	WO 2006-GB1175	20060330
WO 2006103449	A3	<u>20070816</u>		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
IN 2007DN07363	A	20071102	IN 2007-DN7363	20070924
PRIORITY APPLN. INFO.:			GB 2005-6467	A 20050331
			GB 2005-12611	A 20050621
			GB 2005-12615	A 20050621
			WO 2006-GB1175	W 20060330

OTHER SOURCE(S) :

MARPAT 145:397539

GI



AB Title compds. I [one of Ra and Rb is NR1R2, and the other is R3 or R4; Rc = R3 or R4; R1, R2 = H, alkylsulfonyl, Ph, etc.; R1 and R2 may link together to form a ring; R3, R4 = NR1R2, H, (un)substituted alkyl, etc.; ring A = (hetero)aryl; R5 = cyclopropyl, cyano, halo, etc.; n = 0-3; L = (un)substituted amide, (un)substituted amine, alkyl group, etc.; ring B = cycloalkyl, heterocyclyl, (hetero)aryl, etc.; R6 = alkyl, alkoxy, alkylsulfonyl, etc.; m = 0-3, with limitations] or salts and solvates thereof were prepared as Tie2 receptor tyrosine kinase inhibitors. For instance, PdCl₂dppf/CuI-catalyzed coupling of 2-amino-5-iodopyrimidine with trimethylsilylacetylene (100%) followed by desilylation under acidic condition (100%) gave 5-ethynylpyrimidin-2-amine (II). Successive amidation of 5-bromothiophene-2-carbonyl chloride with 2-fluoro-5-(trifluoromethyl)aniline (27%), and coupling of the resultant bromide with acetylene II catalyzed by (PPh₃)₄Pd/CuI (52%) led to ethynylpyrimidinamine III. I generally showed inhibition of

autophosphorylation of Tie2 receptor tyrosine kinase with IC₅₀ values of < 50 μM in a cellular assay. Therefore, I and their pharmaceutical compns. are useful for the treatment of cancer in warm-blooded animals and in the production of medicaments with anti-angiogenic effect. The invention also relates to processes for the preparation of I.

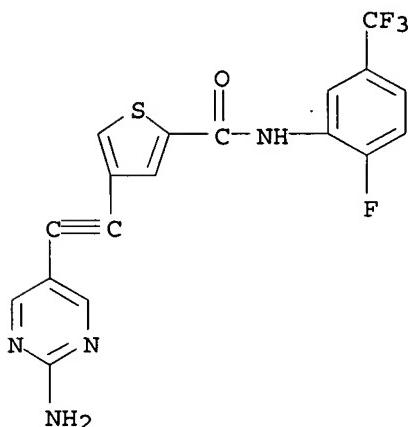
IT 911433-61-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of ethynylpyrimidine derivs. as Tie2 receptor tyrosine kinase inhibitors for the treatment of cancer)

RN 911433-61-9 HCPLUS

CN 2-Thiophenecarboxamide, 4-[(2-amino-5-pyrimidinyl)ethynyl]-N-[2-fluoro-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

26.97

564.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

ENTRY

TOTAL

SESSION

CA SUBSCRIBER PRICE

-0.80

-0.80

FILE 'REGISTRY' ENTERED AT 16:09:56 ON 07 JAN 2008

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STRUCTURE FILE UPDATES: 6 JAN 2008 HIGHEST RN 960045-19-6
DICTIONARY FILE UPDATES: 6 JAN 2008 HIGHEST RN 960045-19-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when

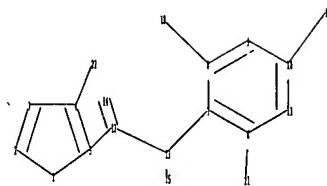
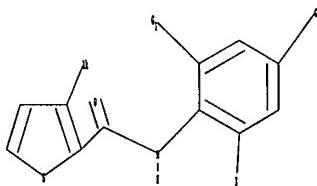
10511742.trn

conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10511742c.str



chain nodes :
12 13 14 15 18 19 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
4-22 5-12 6-21 7-13 8-18 10-19 12-13 12-14 13-15
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
4-22 7-13 8-18 10-19 12-13 12-14
exact bonds :
1-2 1-5 2-3 3-4 4-5 5-12 6-21 13-15
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

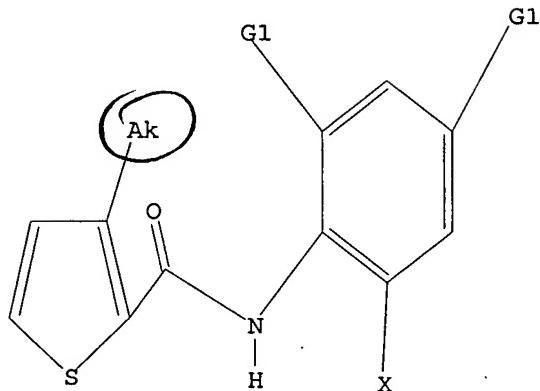
G1:H,Cl,Br,F,I,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 18:CLASS 19:CLASS 21:CLASS
22:CLASS

10511742.trn

L13 STRUCTURE UPLOADED

=> d 113
L13 HAS NO ANSWERS
L13 STR



G1 H,Cl,Br,F,I,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 113
SAMPLE SEARCH INITIATED 16:10:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2856 TO ITERATE

70.0% PROCESSED 2000 ITERATIONS 9 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 53915 TO 60325
PROJECTED ANSWERS: 42 TO 472

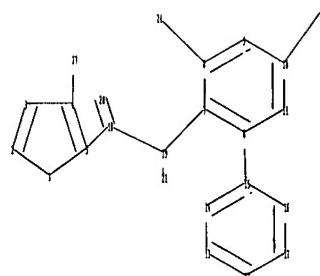
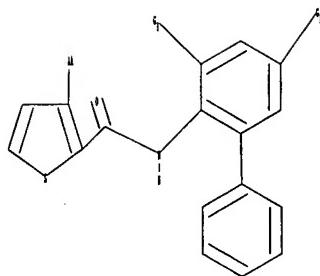
L14 9 SEA SSS SAM L13

=> s 113 sss full
FULL SEARCH INITIATED 16:10:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 57836 TO ITERATE

100.0% PROCESSED 57836 ITERATIONS 333 ANSWERS
SEARCH TIME: 00.00.01

L15 333 SEA SSS FUL L13

=>
Uploading C:\Program Files\Stnexp\Queries\10511742d.str



```

chain nodes :
18 19 20 21 24 25 27
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
4-27 5-18 6-15 7-19 8-24 10-25 18-19 18-20 19-21
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
14-15 15-16 16-17
exact/norm bonds :
4-27 7-19 8-24 10-25 18-19 18-20
exact bonds :
1-2 1-5 2-3 3-4 4-5 5-18 6-15 19-21
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 6 : 12 :

```

G1:H,C1,Br,F,I,Ak

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 24:CLASS 25:CLASS 27:CLASS

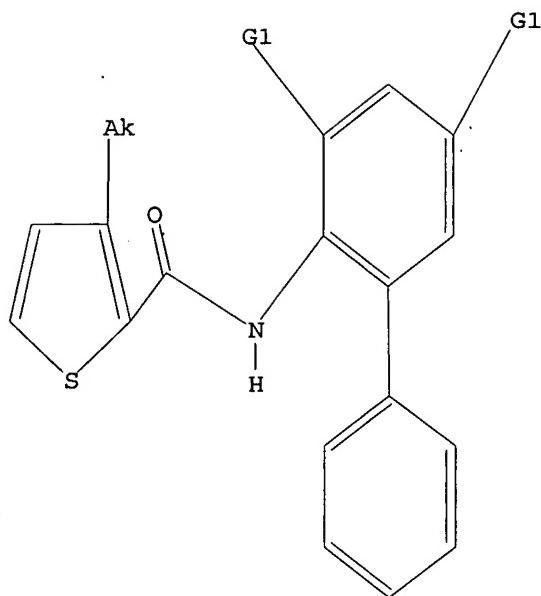
```

L16 STRUCTURE UPLOADED

```

=> d l16
L16 HAS NO ANSWERS
L16 STR

```



G1 H, Cl, Br, F, I, Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s 116
SAMPLE SEARCH INITIATED 16:12:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 54 TO ITERATE
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100.0% PROCESSED 54 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 640 TO 1520
PROJECTED ANSWERS: 2 TO 124
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L17 2 SEA SSS SAM L16

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=> s 116 sss full
FULL SEARCH INITIATED 16:12:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 858 TO ITERATE
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100.0% PROCESSED 858 ITERATIONS 48 ANSWERS
SEARCH TIME: 00.00.01
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L18 48 SEA SSS FUL L16

	SINCE FILE ENTRY	TOTAL SESSION
COST IN U.S. DOLLARS		
FULL ESTIMATED COST	357.64	922.20
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION

10511742.trn

CA SUBSCRIBER PRICE 0.00 -0.80

FILE 'HCAPLUS' ENTERED AT 16:12:28 ON 07 JAN 2008
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FILE LAST UPDATED: 6 Jan 2008 (20080106/ED)

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=> d his

(FILE 'HOME' ENTERED AT 16:00:13 ON 07 JAN 2008)

FILE 'REGISTRY' ENTERED AT 16:00:25 ON 07 JAN 2008

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L2 0 S L1
L3 1 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 0 S L4
L6 1 S L4 SSS FULL
L7 STRUCTURE UPLOADED
L8 11 S L7
L9 352 S L7 SSS FULL

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L12 1 S L9

FILE 'REGISTRY' ENTERED AT 16:09:56 ON 07 JAN 2008

L13 STRUCTURE UPLOADED
L14 9 S L13
L15 333 S L13 SSS FULL
L16 STRUCTURE UPLOADED
L17 2 S L16
L18 48 S L16 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:12:28 ON 07 JAN 2008

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L20 6 L18

=> s 119 and py<=2002
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 L21 5 L19 AND PY<=2002

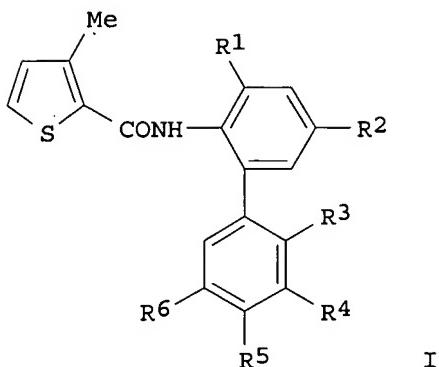
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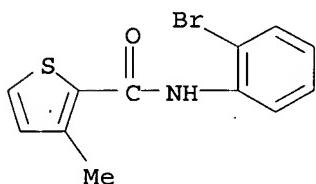
L19 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:875275 HCAPLUS
 DOCUMENT NUMBER: 139:350627
 TITLE: Preparation of N-(1,1'-biphen-2-yl)-3-methylthiophene-2-carboxamides as agricultural fungicides
 INVENTOR(S): Dunkel, Ralf; Rieck, Heiko; Elbe, Hans-Ludwig;
 Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid;
 Kuck, Karl-Heinz
 PATENT ASSIGNEE(S): Bayer CropScience AG, Germany
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091240	A1	20031106	WO 2003-EP3894	20030415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10218231	A1	20031106	DE 2002-10218231	20020424
AU 2003227622	A1	20031110	AU 2003-227622	20030415
EP 1501820	A1	20050202	EP 2003-725028	20030415
EP 1501820	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009526	A	20050209	BR 2003-9526	20030415
JP 2005529891	T	20051006	JP 2003-587799	20030415
AT 327987	T	20060615	AT 2003-725028	20030415
ES 2264764	T3	20070116	ES 2003-3725028	20030415
IN 2003MU00366	A	20050211	IN 2003-MU366	20030416
US 2006148886	A1	20060706	US 2005-511742	20051117
PRIORITY APPLN. INFO.:			DE 2002-10218231	A 20020424
			WO 2003-EP3894	W 20030415

OTHER SOURCE(S): MARPAT 139:350627
 GI



- AB Title compds. [I; R1, R2 = H, F; R3, R4, R6 = H, halo, (halo)alkyl; R5 = H, halo, cyano, NO₂, alkyl, alkenyl, cycloalkyl, alkoxy, alkylthio, alkylsulfonyl, haloalkyl, haloalkoxy, haloalkylthio, haloalkylsulfonyl], were prepared. Thus, 3'-chloro-4'-fluoro-1,1'-biphenyl-2-amine (preparation given) was treated with Et₃N and 3-methylthiophene-2-carboxyl chloride in THF followed by stirring for 16 h at 60° to give 99% N-(3'-chloro-4'-fluoro-1,1'-biphen-2-yl)-3-methylthiophene-2-carboxamide. The latter at 100 ppm gave 100% control of Podosphaera leucotricha on apple.
- IT 618915-71-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (biphenyl)methylthiophenecarboxamides as agricultural fungicides)
- RN 618915-71-2 HCPLUS
- CN 2-Thiophenecarboxamide, N-(2-bromophenyl)-3-methyl- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

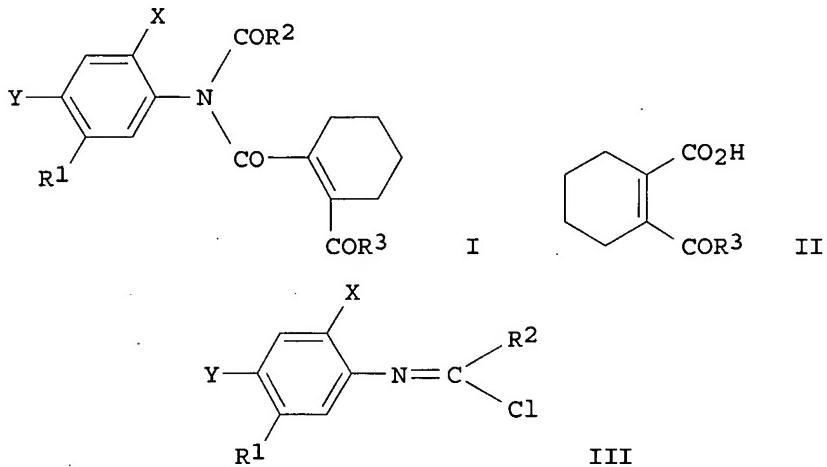
L19 ANSWER 2 OF 6 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1995:926228 HCPLUS
 DOCUMENT NUMBER: 123:339403
 TITLE: Preparation of N-phenyltetrahydrophtalamic acid derivatives as herbicides
 INVENTOR(S): Takematsu, Tetsuo; Komata, Takeo; Kume, Takashi; Kohda, Yumiko; Suzuki, Kiyoshi; Kawamura, Matsue; Ikeda, Yukio; Mori, Kaoru
 PATENT ASSIGNEE(S): Central Glass Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 152 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9519962	A1	19950727	WO 1995-JP44	19950119
W: AU, CA, JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2181488	A1	19950727	CA 1995-2181488	19950119
AU 9514659	A	19950808	AU 1995-14659	19950119
AU 681233	B2	19970821		
EP 741131	A1	19961106	EP 1995-906483	19950119
R: CH, DE, FR, GB, LI, NL <i>3/92</i> JP 3041957	B2	20000515	JP 1995-519462	19950119
US 5801122	A	19980901	US 1996-676148	19960715
US 6337417	B1	20020108	US 1998-97010	19980615
PRIORITY APPLN. INFO.:			JP 1994-4205	A 19940119
			JP 1994-4206	A 19940119
			JP 1994-4207	A 19940119
			WO 1995-JP44	W 19950119
			US 1996-676148	A3 19960715

OTHER SOURCE(S): CASREACT 123:339403; MARPAT 123:339403
GI



AB N-acyl-N-phenyltetrahydrophthalamic acid derivative represented by general formula [I; X, Y = H or halo; R1 = lower alkoxy carbonylalkylthio; R2 = lower (halo) alkyl or (un)substituted phenyl; R3 = lower alkoxy, alkenyloxy, alkynyloxy, or alkoxyalkoxy], which can widely be applied to upland and lowland fields, orchard, pasture, turf, forest and non-crop land, and are highly safe for crops, are prepared by reaction of tetrahydrophthalic acid derivs. (II; R3 = same as above) with imidoyl chloride derivs. (III; X, Y, R1, R2 = same as above). Thus, 10 g Me 5-acetamido-2-chloro-4-fluorophenylthioacetate (preparation given) and 7.14 g PC15 were suspended in 250 mL benzene and heated at 60° with stirring for 1 h to give 100% III (X = F, Y = Cl, R1 = MeO2CCH2S). The latter compound (10.6 g) and 3,4,5,6-tetrahydrophthalic acid monomethyl ester were dissolved in 50 mL benzene, followed by adding dropwise a solution

of 4.16 g Et₃N in 10 mL benzene at ≤10°, and the resulting mixture was allowed react at 60° for 3 h to give, after workup and recrystn. from MeOH, 5.06 g I (X = F, Y = Cl, R₁ = MeO₂CCH₂S, R₂ = Me, R₃ = OMe) (IV). IV at 12.5 g/10 are preemergence in flooded paddy soil completely controlled Echinochloa crus-galli, broad leaf weed, Scirpus juncoides, and Cyperus difformis.

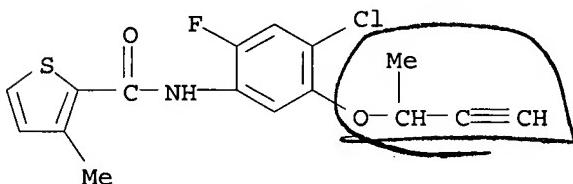
IT 170443-97-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate for preparation of N-acyl-N-phenyltetrahydrophthalamic acid derivs. as herbicides by acylation of N-phenylimidoyl chloride derivs. with tetrahydrophthalamic acid derivs.)

RN 170443-97-7 HCPLUS

CN 2-Thiophenecarboxamide, N-[4-chloro-2-fluoro-5-[(1-methyl-2-propynyl)oxy]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



L19 ANSWER 3 OF 6 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:407793 HCPLUS

DOCUMENT NUMBER: 117:7793

TITLE: Preparation of furan- and thiophenedicarboximides as herbicides

INVENTOR(S): Muenster, Peter; Freund, Wolfgang; Steiner, Gerd; Walter, Helmut; Westphalen, Karl Otto; Gerber, Matthias

PATENT ASSIGNEE(S): BASF A.-G., Germany

SOURCE: Eur. Pat. Appl., 49 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 467206	A2	19920122	EP 1991-111462	19910710
EP 467206	A3	19920722		
EP 467206	B1	19961218		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL				
DE 4023048	A1	19920123	DE 1990-4023048	19900720
AT 146475	T	19970115	AT 1991-111462	19910710
CA 2047452	A1	19920121	CA 1991-2047452	19910719
HU 58190	A2	19920228	HU 1991-2433	19910719
HU 209630	B	19940928		
JP 04234393	A	19920824	JP 1991-179867	19910719
JP 3088139	B2	20000918		
US 5276009	A	19940104	US 1991-732794	19910719
JP 2000297087	A	20001024	JP 2000-83348	19910719
JP 3169364	B2	20010521		
US 5386036	A	19950131	US 1993-110008	19930823

PRIORITY APPLN. INFO.:

DE 1990-4023048

A 19900720

JP 1991-179867

A3 19910719

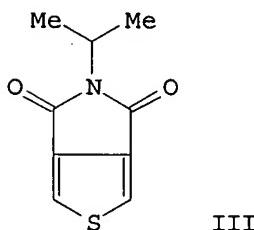
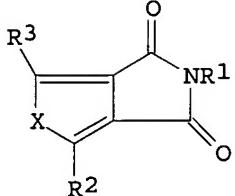
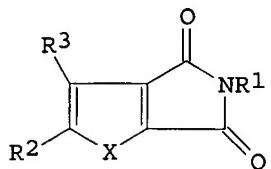
US 1991-732794

A3 19910719

OTHER SOURCE(S):

CASREACT 117:7793; MARPAT 117:7793

GI



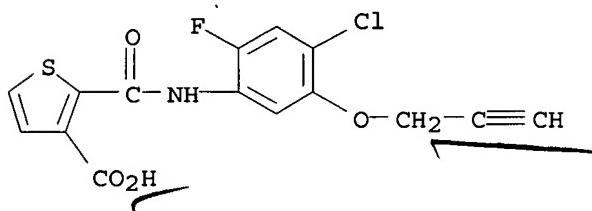
AB Title compds. [I, II; X = O, S; R¹ = H, OH, (substituted) (cyclo)alkyl, heterocyclyl; R², R³ = NO₂, cyano, halo, (alkyl- or alkoxy carbonyl-substituted) amino, (halo)alkoxy, (halo)alkylthio, (substituted) alkenyl, alkynyl, Ph, PhO, PhS, R¹], were prepared as herbicides. Thus, 4-isopropylaminocarbonylthiophene-3-carboxylic acid was refluxed with SOC₁₂ in ClCH₂CH₂Cl to give 88% title compound III. I were effective against broadleaf weeds at 0.01-2 kg/ha.

IT 135278-70-5P 135278-71-6P 139993-05-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for dicarboximide herbicide)

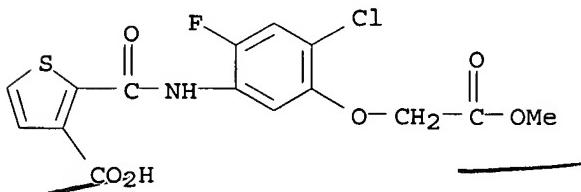
RN 135278-70-5 HCPLUS

CN 3-Thiophenecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-(2-propynyl)oxy]phenyl]amino]carbonyl] - (9CI) (CA INDEX NAME)



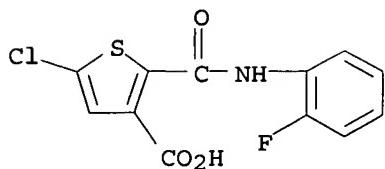
RN 135278-71-6 HCPLUS

CN 3-Thiophenecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-(2-methoxy-2-oxoethoxy)phenyl]amino]carbonyl] - (CA INDEX NAME)



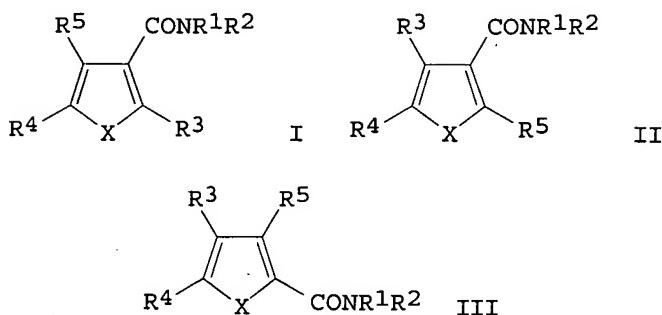
RN 139993-05-8 HCPLUS

CN 3-Thiophenecarboxylic acid, 5-chloro-2-[[[(2-fluorophenyl)amino]carbonyl]- (CA INDEX NAME)



L19 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1991:471383 HCAPLUS
 DOCUMENT NUMBER: 115:71383
 TITLE: Preparation of thiophene- and tetrahydrofuran carboxylic acid amides as herbicides
 INVENTOR(S): Muenster, Peter; Steiner, Gerd; Freund, Wolfgang;
 Wuerzer, Bruno; Westphalen, Karl Otto
 PATENT ASSIGNEE(S): BASF A.-G., Germany
 SOURCE: Ger. Offen., 53 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3933573	A1	19910418	DE 1989-3933573	19891007
EP 423523	A2	19910424	EP 1990-118654	19900928
EP 423523	A3	19920219		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
CA 2026829	A1	19910408	CA 1990-2026829	19901003
US 5201934	A	19930413	US 1990-592287	19901003
HU 55377	A2	19910528	HU 1990-6362	19901005
JP 03127787	A	19910530	JP 1990-266572	19901005
US 5258357	A	19931102	US 1992-947538	19920921
PRIORITY APPLN. INFO.:			DE 1989-3933573	A 19891007
			US 1990-592287	A1 19901003
OTHER SOURCE(S): GI	CASREACT 115:71383; MARPAT 115:71383			



AB Preparation of title compds. I-III (X = O, S, R1 = H, alkyl, cycloalkyl, R2 = OH, alkoxy, cyanoalkyl, substituted alkenyl, alkynyl, Ph, naphthyl etc.; R1R2 = 4-7 ring compound; R3, R4 = NO2, CN, halo, substituted amino, alkoxy, alkylthio, heterocyclic etc.; R5 = formyl, 4,5-dihydrooxazol-2-yl,

alkoxycarbonyl, thiocarbonyl, carboxy etc.) as herbicides are claimed. Thus, reaction of thiophene-3,4-dicarboxylic acid with Ac₂O gave 98% thiophene-3,4-dicarboxylic acid anhydride which on amidation with 4-ClC₆H₄NH₂ in PhMe gave 100% I (R₁ = R₃ = R₄ = H, R₂ = 4-ClC₆H₄, R₅ = CO₂H, X = S).

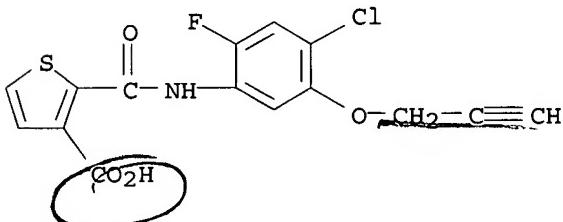
IT 135278-70-5P 135278-71-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and herbicidal activity of)

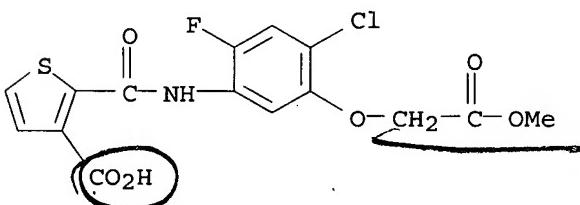
RN 135278-70-5 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-(2-propynyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 135278-71-6 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-(2-methoxy-2-oxoethoxy)phenyl]amino]carbonyl]- (CA INDEX NAME)



L19 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1976:421086 HCAPLUS

DOCUMENT NUMBER: 85:21086

ORIGINAL REFERENCE NO.: 85:3437a,3440a

TITLE: 3,5-Dimethyl-2-thienylcarboxyanilide and
3,5-dimethyl-2-thienyl-(N-haloalkylthiocarboxyanilide)
herbicides

INVENTOR(S): Kobzina, John W.

PATENT ASSIGNEE(S): Chevron Research Co., USA

SOURCE: U.S., 4 pp. Division of U.S. 3,892,775.

CODEN: USXXAM

DOCUMENT TYPE: Patent

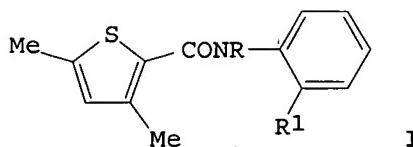
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3948633	A	19760406	US 1975-570339	19750421
US 3892775	A	19750701	US 1973-383751	19730730
PRIORITY APPLN. INFO.:			US 1973-383751	A3 19730730

GI



AB Carboxanilides I ($R = H$, $R1 = H$, F ; $R = Cl_3CS$, $R1 = H$), with pre- and postemergent herbicidal activity against 6 plants, were prepared. Thus, Me 3,5-dimethyl-2-thiophenecarboxylate was treated with $PhNHMgI$, obtained from $PhNH_2$ and $MeMgI$, in Et_2O to give I ($R = R1 = H$), which on reaction with Cl_3CSCl in dimethoxyethane in the presence of Et_3N gave I ($R = Cl_3CS$; $R1 = H$).

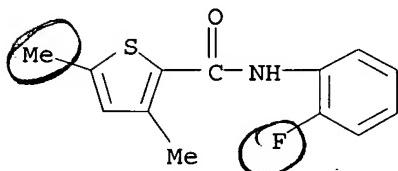
IT 57041-30-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and herbicidal activity of)

RN 57041-30-2 HCPLUS

CN 2-Thiophenecarboxamide, N-(2-fluorophenyl)-3,5-dimethyl- (CA INDEX NAME)



L19 ANSWER 6 OF 6 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:578803 HCPLUS

DOCUMENT NUMBER: 83:178803

ORIGINAL REFERENCE NO.: 83:28073a, 28076a

TITLE: 3,5-Dimethyl-2-thienyl-(N-haloalkylthiocarboxanilide) herbicides

INVENTOR(S): Kobzina, John W.

PATENT ASSIGNEE(S): Chevron Research Co., USA

SOURCE: U.S., 4 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

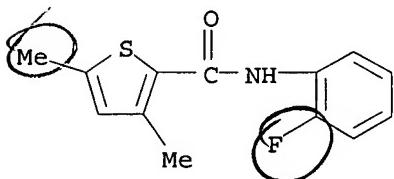
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3892775	A	19750701	US 1973-383751	19730730
US 3948633	A	19760406	US 1975-570339	19750421

PRIORITY APPLN. INFO.: US 1973-383751 A3 19730730

GI For diagram(s), see printed CA Issue.

AB Me 3,5-dimethyl-2-thiophenecarboxylate condensed with $PhNHMgI$ to give the anilide I ($R = R1 = H$), which was treated with Cl_3CSCl to give I ($R = Cl_3CS$, $R1 = H$). I ($R = H$, $R1 = F$) was prepared similarly. These compds. possessed preemergent and postemergent herbicidal activity at $30\mu/cm^3$.

IT 57041-30-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 57041-30-2 HCPLUS
 CN 2-Thiophenecarboxamide, N-(2-fluorophenyl)-3,5-dimethyl- (CA INDEX NAME)



=> d 120 ibib abs hitstr tot

L20 ANSWER 1 OF 6 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:817877 HCPLUS
 DOCUMENT NUMBER: 141:314146
 TITLE: Preparation of trifluoromethylthiophenecarboxylic acid anilides as fungicides
 INVENTOR(S): Gewehr, Markus; Mueller, Bernd; Grote, Thomas;
 Grammenos, Wassilios; Gypser, Andreas; Tormo i Blasco,
 Jordi; Schwoegler, Anja; Rheinheimer, Joachim;
 Blettner, Carsten; Schieweck, Frank; Rack, Michael;
 Schoefl, Ulrich; Strathmann, Siegfried; Stierl,
 Reinhard; Rether, Jan
 PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

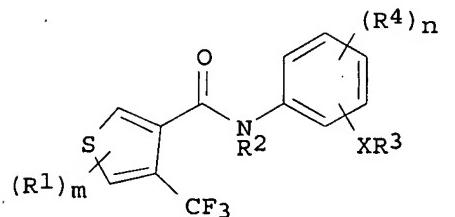
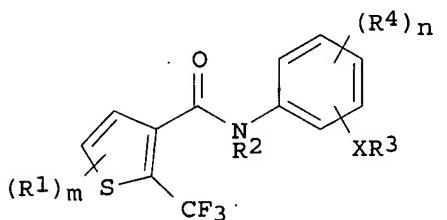
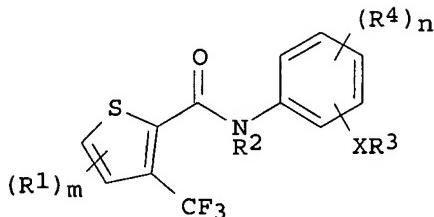
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085419	A1	20041007	WO 2004-EP2933	20040320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004224205	A1	20041007	AU 2004-224205	20040320
CA 2519990	A1	20041007	CA 2004-2519990	20040320
EP 1608637	A1	20051228	EP 2004-722169	20040320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008455	A	20060404	BR 2004-8455	20040320
CN 1764657	A	20060426	CN 2004-80008102	20040320
JP 2006521316	T	20060921	JP 2006-504766	20040320

10511742.trn

US 2006172891 IN 2005CN02721	A1 A	20060803 20070831	US 2005-548840 IN 2005-CN2721 DE 2003-10313126 DE 2003-10354549 WO 2004-EP2933	20050912 20051021 A 20030324 A 20031121 W 20040320
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PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 141:314146
GI



AB Title compds. [I, II, III; R1, R4 = H, halo, NO₂, cyano, (halo-substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy; R2 = H, OH, (halo-substituted) alkyl, cycloalkyl, alkoxy; R3 = (substituted) alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, cycloalkylalkyl, Ph, phenylalkyl, phenylalkenyl, phenylalkynyl, phenoxyalkyl, phenoxyalkenyl, phenoxyalkynyl, etc.; X = O, S, bond; n = 0-4; m = 0, 1], were prepared. Thus, 2-trifluoromethylthiophene-3-carboxylic acid was refluxed 2 h with SOCl₂ to give the acid chloride which was stirred 15 h with m-isopropoxyaniline and Et₃N in CH₂Cl₂ to give N-(3-isopropoxyphenyl)-2-trifluoromethylthiophene-3-carboxamide. Title compds. at 63 ppm reduced incidence of Botrytis cinerea on paprika seedlings to 1-10%, vs. 90% for untreated controls.

IT 767337-19-9P 767337-20-2P 767337-25-7P

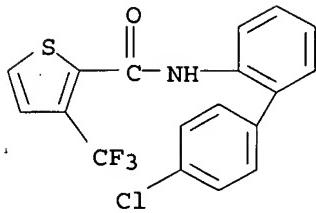
767337-26-8P 767337-30-4P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of trifluoromethylthiophenecarboxylic acid anilides as fungicides)

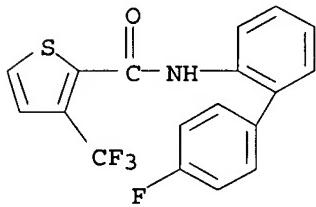
RN 767337-19-9 HCPLUS

CN 2-Thiophenecarboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-3-(trifluoromethyl)- (CA INDEX NAME)



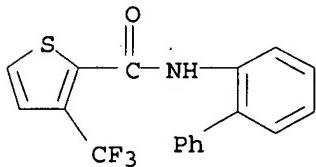
RN 767337-20-2 HCAPLUS

CN 2-Thiophenecarboxamide, N- (4'-fluoro[1,1'-biphenyl]-2-yl)-3- (trifluoromethyl)- (CA INDEX NAME)



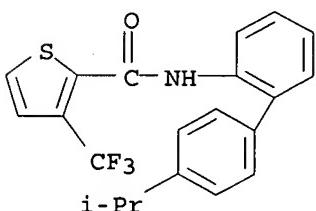
RN 767337-25-7 HCAPLUS

CN 2-Thiophenecarboxamide, N- [1,1'-biphenyl]-2-yl-3- (trifluoromethyl)- (CA INDEX NAME)



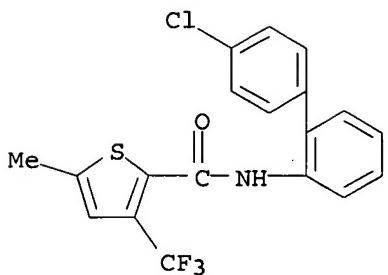
RN 767337-26-8 HCAPLUS

CN 2-Thiophenecarboxamide, N- [4'- (1-methylethyl) [1,1'-biphenyl]-2-yl]-3- (trifluoromethyl)- (CA INDEX NAME)



RN 767337-30-4 HCAPLUS

CN 2-Thiophenecarboxamide, N- (4'-chloro[1,1'-biphenyl]-2-yl)-5-methyl-3- (trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:509994 HCAPLUS

DOCUMENT NUMBER: 141:54333

TITLE: Preparation of biphenylcarboxamides as agricultural fungicides and insecticides

INVENTOR(S): Dunkel, Ralf; Elbe, Hans-Ludwig; Rieck, Heiko; Greul, Joerg Nico; Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid; Dahmen, Peter; Kuck, Karl-Heinz; Loesel, Peter

PATENT ASSIGNEE(S): Bayer Cropscience AG, Germany

SOURCE: Ger. Offen., 70 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

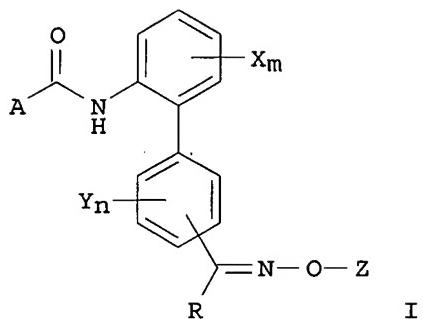
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10258314	A1	20040624	DE 2002-10258314	20021213
WO 2004054982	A1	20040701	WO 2003-EP13498	20031201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003298156	A1	20040709	AU 2003-298156	20031201
EP 1572663	A1	20050914	EP 2003-795860	20031201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017290	A	20051108	BR 2003-17290	20031201
CN 1745067	A	20060308	CN 2003-80109571	20031201
JP 2006515841	T	20060608	JP 2004-559734	20031201
PRIORITY APPLN. INFO.:			DE 2002-10258314	A 20021213
			WO 2003-EP13498	W 20031201

OTHER SOURCE(S): MARPAT 141:54333
GI



AB Title compds. [I; R = H, alkyl, haloalkyl; Z = alkenyl, alkynyl, haloalkenyl, haloalkynyl; X, Y = halo, cyano, NO₂, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, haloalkylthio; m, n = 0-4; A = 5-6 membered substituted heterocycl], were prepared Thus, 2'-amino-1,1'-biphenyl-4-carbaldehyde O-allyloxime (preparation given) and Et₃N was treated with 4-difluoromethyl-2-methylthiazole-5-carbonyl chloride in PhMe at room temperature followed by stirring for 3 h at 50° to give 49.6% N-(4'-(E)-[(allyloxy)imino]methyl)-1,1'-biphenyl-2-yl)-4-(difluoromethyl)-2-methyl-1,3-thiazole-5-carboxamide. The latter at 100 ppm gave 100% control of Venturia inaequalis.

IT 705944-42-9P 705944-75-8P 705944-88-3P

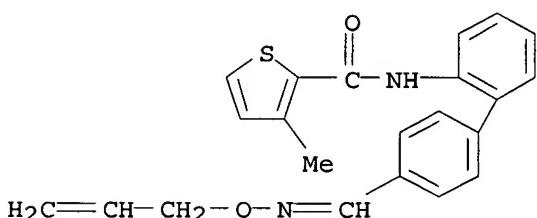
705944-90-7P 705944-94-1P 705945-00-2P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biphenylcarboxamides as agricultural fungicides and insecticides)

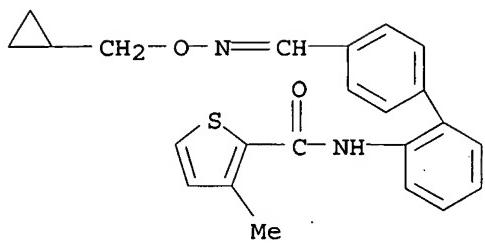
RN 705944-42-9 HCPLUS

CN 2-Thiophenecarboxamide, 3-methyl-N-[4'-(2-propenyloxy)imino]methyl]-[1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



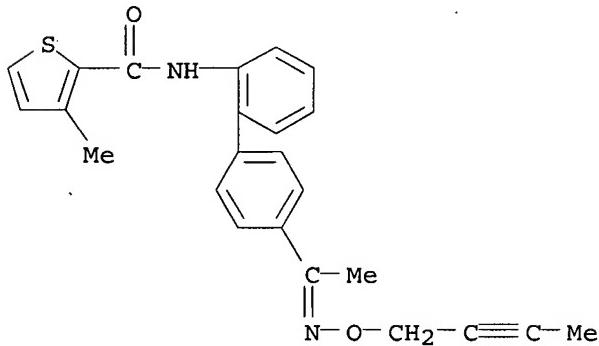
RN 705944-75-8 HCPLUS

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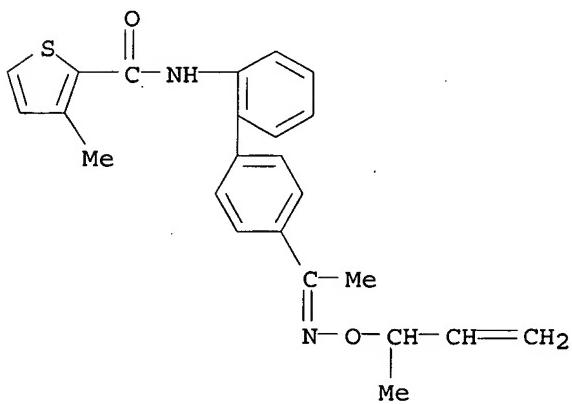
RN 705944-88-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-[4'-(1-[(2-butynyloxy)imino]ethyl)[1,1'-biphenyl]-2-yl]-3-methyl- (9CI) (CA INDEX NAME)



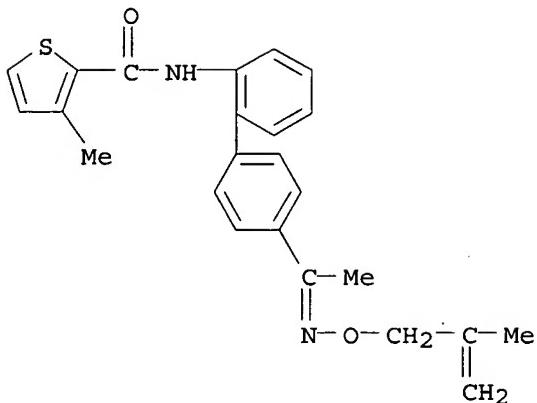
RN 705944-90-7 HCAPLUS

CN 2-Thiophenecarboxamide, 3-methyl-N-[4'-(1-[(1-methyl-2-propenyl)oxy]imino)ethyl][1,1'-biphenyl]-2-yl- (9CI) (CA INDEX NAME)



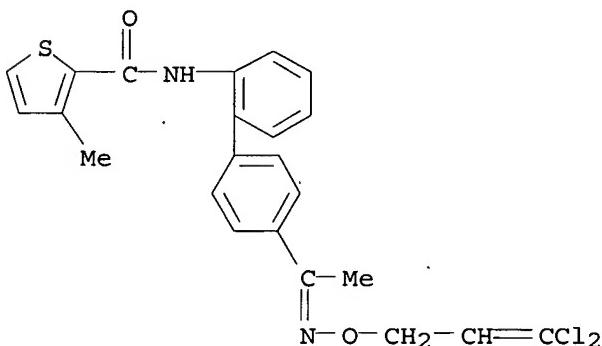
RN 705944-94-1 HCAPLUS

CN 2-Thiophenecarboxamide, 3-methyl-N-[4'-(1-[(2-methyl-2-propenyl)oxy]imino)ethyl][1,1'-biphenyl]-2-yl- (9CI) (CA INDEX NAME)



RN 705945-00-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-[4'-(1-[(3,3-dichloro-2-propenyl)oxy]iminoethyl)[1,1'-biphenyl]-2-yl]-3-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:875275 HCAPLUS

DOCUMENT NUMBER: 139:350627

TITLE: Preparation of N-(1,1'-biphen-2-yl)-3-methylthiophene-2-carboxamides as agricultural fungicides

INVENTOR(S): Dunkel, Ralf; Rieck, Heiko; Elbe, Hans-Ludwig;
Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid;
Knick, Karl-Heinz

PATENT ASSIGNEE(S): Bayer CropScience AG, Germany

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

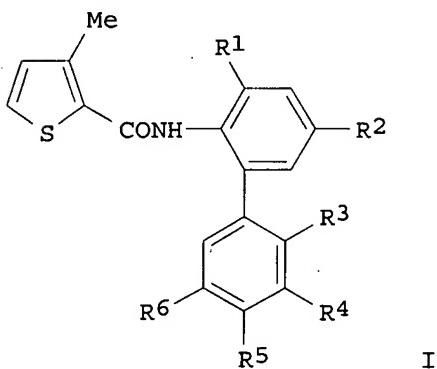
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091240	A1	20031106	WO 2003-EP3894	20030415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 DE 10218231 A1 20031106 DE 2002-10218231 20020424
 AU 2003227622 A1 20031110 AU 2003-227622 20030415
 EP 1501820 A1 20050202 EP 2003-725028 20030415
 EP 1501820 B1 20060531
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 BR 2003009526 A 20050209 BR 2003-9526 20030415
 JP 2005529891 T 20051006 JP 2003-587799 20030415
 AT 327987 T 20060615 AT 2003-725028 20030415
 ES 2264764 T3 20070116 ES 2003-3725028 20030415
 IN 2003MU00366 A 20050211 IN 2003-MU366 20030416
 US 2006148886 A1 20060706 US 2005-511742 20051117
 PRIORITY APPLN. INFO.: DE 2002-10218231 A 20020424
 OTHER SOURCE(S): MARPAT 139:350627 WO 2003-EP3894 W 20030415
 GI



AB Title compds. [I; R₁, R₂ = H, F; R₃; R₄, R₆ = H, halo, (halo)alkyl; R₅ = H, halo, cyano, NO₂, alkyl, alkenyl, cycloalkyl, alkoxy, alkylthio, alkylsulfonyl, haloalkyl, haloalkoxy, haloalkylthio, haloalkylsulfonyl], were prepared. Thus, 3'-chloro-4'-fluoro-1,1'-biphenyl-2-amine (preparation given) was treated with Et₃N and 3-methylthiophene-2-carbonyl chloride in THF followed by stirring for 16 h at 60° to give 99% N-(3'-chloro-4'-fluoro-1,1'-biphen-2-yl)-3-methylthiophene-2-carboxamide. The latter at 100 ppm gave 100% control of Podosphaera leucotricha on apple.

IT 618915-69-8P 618915-70-1P 618915-72-3P
 618915-73-4P 618915-74-5P 618915-75-6P
 618915-76-7P 618915-77-8P 618915-78-9P
 618915-79-0P 618915-80-3P 618915-81-4P
 618915-82-5P 618915-83-6P 618915-84-7P
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 618915-88-1P 618915-89-2P 618915-90-5P
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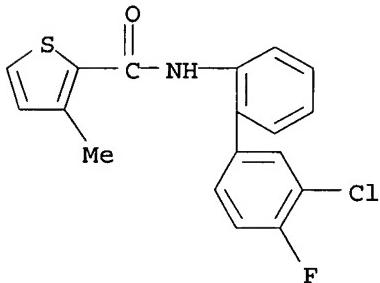
618916-00-0P 618916-01-1P 618916-02-2P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN
(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of (biphenyl)methylthiophenecarboxamides as agricultural
fungicides)

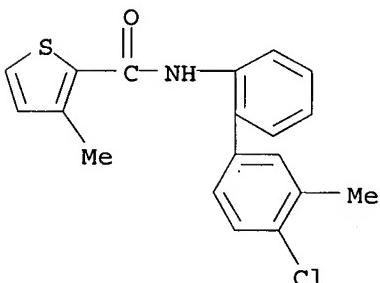
RN 618915-69-8 HCPLUS

CN 2-Thiophenecarboxamide, N-(3'-chloro-4'-fluoro[1,1'-biphenyl]-2-yl)-3-
methyl- (CA INDEX NAME)



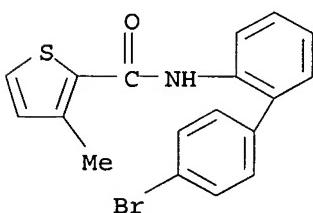
RN 618915-70-1 HCPLUS

CN 2-Thiophenecarboxamide, N-(4'-chloro-3'-methyl[1,1'-biphenyl]-2-yl)-3-
methyl- (CA INDEX NAME)



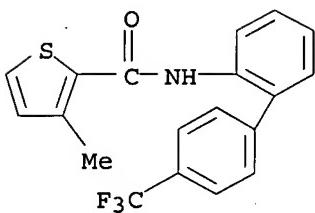
RN 618915-72-3 HCPLUS

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INDEX NAME)



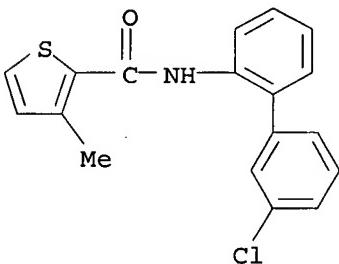
RN 618915-73-4 HCPLUS

CN 2-Thiophenecarboxamide, 3-methyl-N-[4'-(trifluoromethyl)[1,1'-biphenyl]-2-
yl]- (CA INDEX NAME)



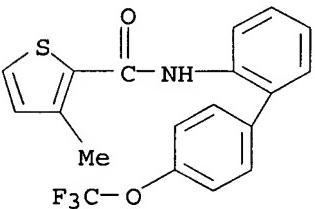
RN 618915-74-5 HCAPLUS

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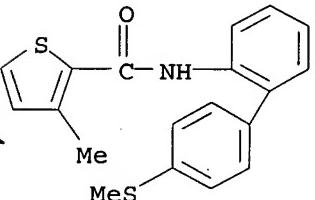
RN 618915-75-6 HCAPLUS

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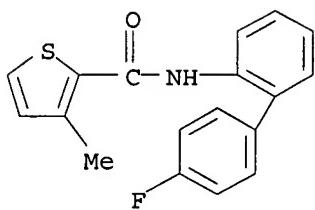
RN 618915-76-7 HCAPLUS

CN 2-Thiophenecarboxamide, 3-methyl-N-[4'-(methylthio)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



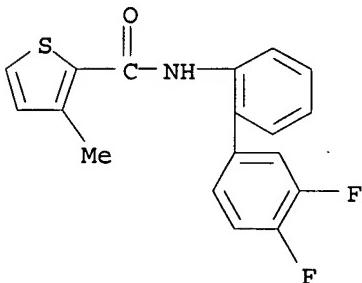
RN 618915-77-8 HCAPLUS

CN 2-Thiophenecarboxamide, N-(4'-fluoro[1,1'-biphenyl]-2-yl)-3-methyl- (CA INDEX NAME)



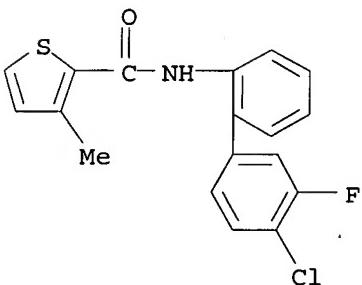
RN 618915-78-9 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3',4'-difluoro[1,1'-biphenyl]-2-yl)-3-methyl- (CA INDEX NAME)



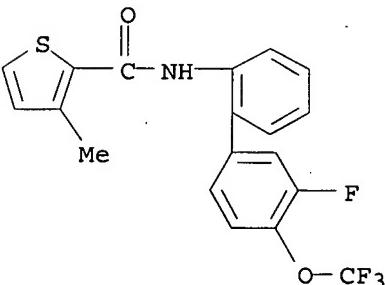
RN 618915-79-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-(4'-chloro-3'-fluoro[1,1'-biphenyl]-2-yl)-3-methyl- (CA INDEX NAME)



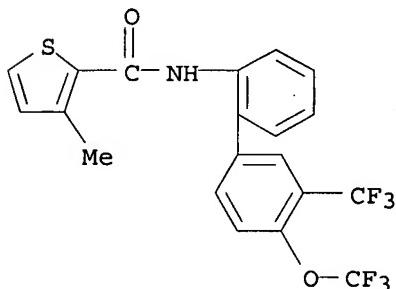
RN 618915-80-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-[3'-fluoro-4'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]-3-methyl- (CA INDEX NAME)



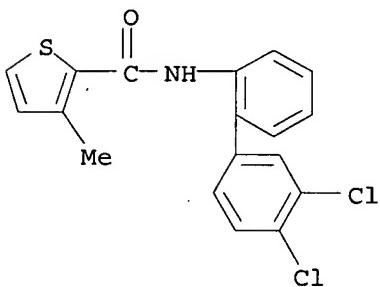
RN 618915-81-4 HCAPLUS

CN 2-Thiophenecarboxamide, 3-methyl-N-[4'-(trifluoromethoxy)-3'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]-(CA INDEX NAME)



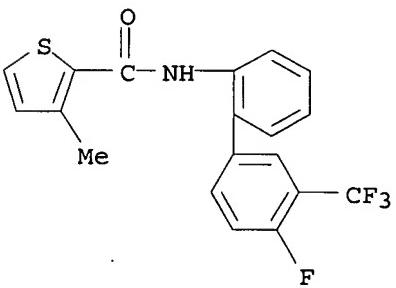
RN 618915-82-5 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3',4'-dichloro[1,1'-biphenyl]-2-yl)-3-methyl-(CA INDEX NAME)



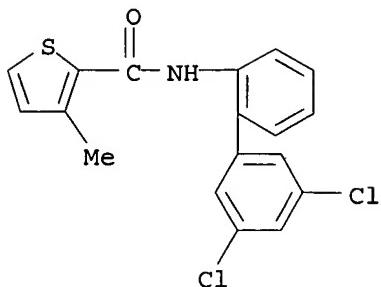
RN 618915-83-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-[4'-fluoro-3'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]-3-methyl-(CA INDEX NAME)



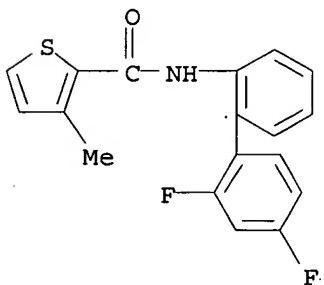
RN 618915-84-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3',5'-dichloro[1,1'-biphenyl]-2-yl)-3-methyl-(CA INDEX NAME)



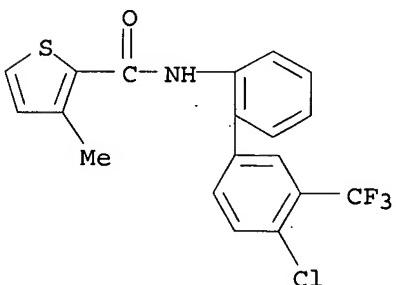
RN 618915-85-8 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2',4'-difluoro[1,1'-biphenyl]-2-yl)-3-methyl-
(CA INDEX NAME)



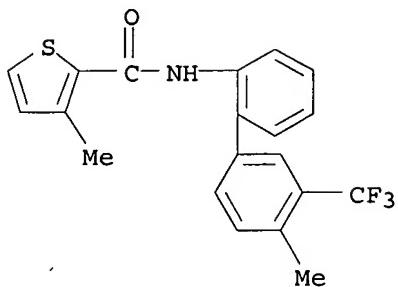
RN 618915-86-9 HCAPLUS

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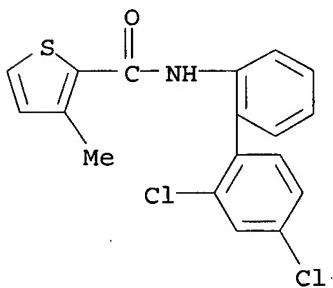
RN 618915-87-0 HCAPLUS

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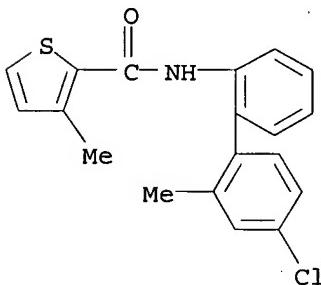
RN 618915-88-1 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2',4'-dichloro[1,1'-biphenyl]-2-yl)-3-methyl-
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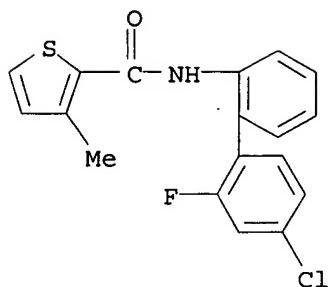
RN 618915-89-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-(4'-chloro-2'-methyl[1,1'-biphenyl]-2-yl)-3-
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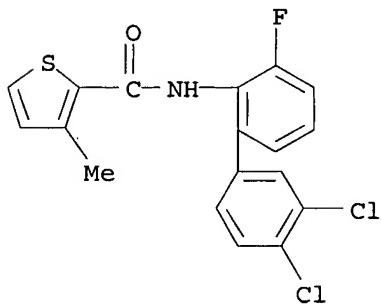
RN 618915-90-5 HCAPLUS

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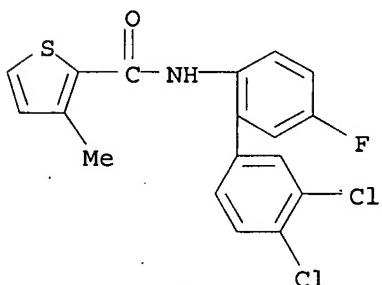
RN 618915-91-6 HCAPLUS

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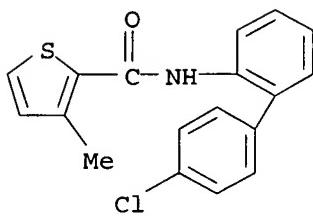
RN 618915-92-7 HCAPLUS

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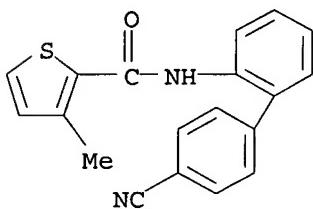
RN 618915-93-8 HCAPLUS

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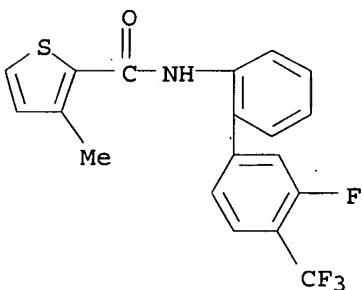
RN 618915-94-9 HCAPLUS

CN 2-Thiophencarboxamide, N- (4'-cyano[1,1'-biphenyl]-2-yl)-3-methyl- (CA INDEX NAME)



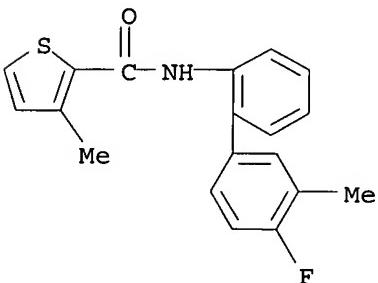
RN 618915-95-0 HCAPLUS

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RN 618915-96-1 HCAPLUS

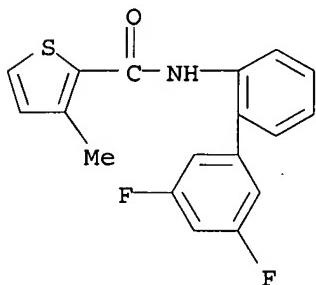
CN 2-Thiophencarboxamide, N- (4'-fluoro-3'-methyl[1,1'-biphenyl]-2-yl)-3-methyl- (CA INDEX NAME)



RN 618915-97-2 HCAPLUS

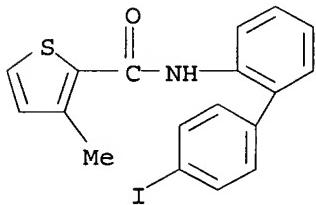
10511742.trn

CN 2-Thiophenecarboxamide, N-(3',5'-difluoro[1,1'-biphenyl]-2-yl)-3-methyl-
(CA INDEX NAME)



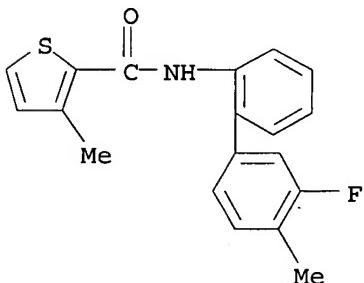
RN 618915-98-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-(4'-iodo[1,1'-biphenyl]-2-yl)-3-methyl- (CA INDEX NAME)



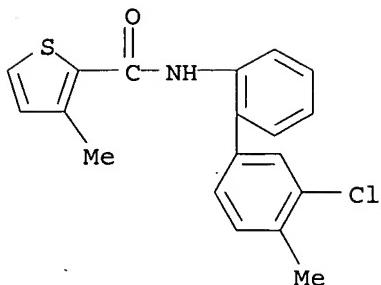
RN 618915-99-4 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3'-fluoro-4'-methyl[1,1'-biphenyl]-2-yl)-3-methyl- (CA INDEX NAME)



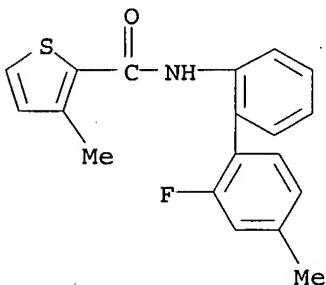
RN 618916-00-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3'-chloro-4'-methyl[1,1'-biphenyl]-2-yl)-3-methyl- (CA INDEX NAME)



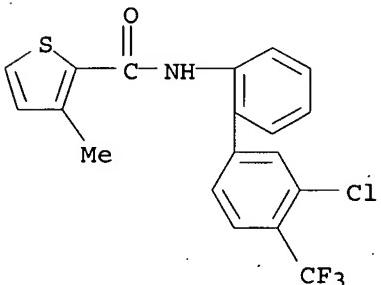
RN 618916-01-1 HCAPLUS

CN 2-Thiophenecarboxamide, N- (2'-fluoro-4'-methyl[1,1'-biphenyl]-2-yl)-3-methyl- (CA INDEX NAME)



RN 618916-02-2 HCAPLUS

CN 2-Thiophenecarboxamide, N- [3'-chloro-4'- (trifluoromethyl) [1,1'-biphenyl]-2-yl]-3-methyl- (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:90017 HCAPLUS

DOCUMENT NUMBER: 136:151158

TITLE: Preparation of N-biphenylcarboxamides as bactericides

INVENTOR(S): Elbe, Hans-Ludwig; Rieck, Heiko; Dunkel, Ralf; Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid; Kuck, Karl-Heinz; Kugler, Martin; Jaetsch, Thomas

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

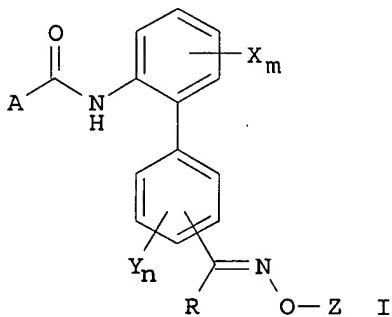
SOURCE: PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008197	A1	20020131	WO 2001-EP7981	20010711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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DE 10122447	A1	20020418	DE 2001-10122447	20010509
EP 1305292	A1	20030502	EP 2001-956525	20010711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012676	A	20030624	BR 2001-12676	20010711
HU 2003001661	A2	20030828	HU 2003-1661	20010711
JP 2004504383	T	20040212	JP 2002-514103	20010711
IN 2001MU00664	A	20050304	IN 2001-MU664	20010712
ZA 2003000633	A	20040212	ZA 2003-633	20030123
MX 2003PA00688	A	20041101	MX 2003-PA688	20030123
US 2004039043	A1	20040226	US 2003-333598	20030506
US 7176228	B2	20070213		
<i>SOA</i>				
PRIORITY APPLN. INFO.:				
			DE 2000-10035857	A 20000724
			DE 2001-10122447	A 20010509
			WO 2001-EP7981	W 20010711

OTHER SOURCE(S): MARPAT 136:151158
 GI



AB Title compds. [I; R = H, (halo)alkyl, cycloalkyl; Z = H, (halo)alkyl; X, Y = halo, NO₂, cyano, OH, CO₂H, cycloalkyl, alkoxy carbonyl, alkoxyimidoalkyl, (halo-substituted) alkyl, alkoxy, alkylthio, alkenyloxy, alkynyoxy, alkylsulfonyl, alkylsulfinyl; m = 0-3; n = 0-4; A = (substituted) 1H-pyrazol-4-yl, 2- or 3-thienyl, Ph, 3-pyridinyl, 3-pyranyl, 1,4-oxathian-3-yl, 2- or 3-thiopyran-3-yl, 3-pyrrolyl, 3- or 2-furanyl, 5- or 4-thiazolyl, 4-isothiazolyl, 5-isoxazolyl, 2-pyrazinyl], were prepared. Thus, a mixture of 2-(4-methoxyiminomethylphenyl)benzenamine (preparation given) and Et₃N in PhMe was stirred with 2-methyl-4-trifluoromethylthiazole-5-carbonyl chloride at room temperature followed by

stirring for 2 h at 50° to give 74% N-[2-(4-methoxyimidomethylphenyl)phenyl]-2-methyl-4-trifluoromethylthiazole-5-carboxamide. Several I at 100 ppm gave 77-100% control of *Podosphaera leucotricha* on apple.

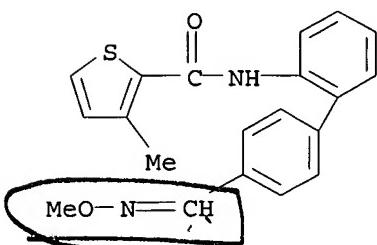
IT 393821-26-6P 393821-28-8P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-biphenylcarboxamides as bactericides)

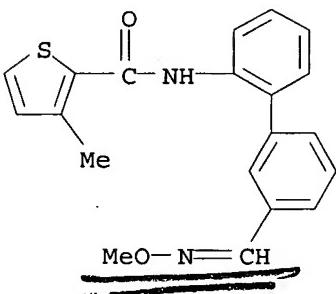
RN 393821-26-6 HCPLUS

CN 2-Thiophenecarboxamide, N-[4'-(methoxyimino)methyl][1,1'-biphenyl]-3-methyl- (CA INDEX NAME)



RN 393821-28-8 HCPLUS

CN 2-Thiophenecarboxamide, N-[3'-(methoxyimino)methyl][1,1'-biphenyl]-3-methyl- (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 6 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:548049 HCPLUS

DOCUMENT NUMBER: 105:148049

TITLE: Thiophene carboxamide fungicides: structure-activity relationships with the succinate dehydrogenase complex from wild-type and carboxin-resistant mutant strains of *Aspergillus nidulans*

AUTHOR(S): White, G. A.; Georgopoulos, S. G.

CORPORATE SOURCE: Res. Cent., Agric. Canada, London, ON, N6A 5B7, Can.
SOURCE: Pesticide Biochemistry and Physiology (1986), 25(2), 188-204

DOCUMENT TYPE: CODEN: PCBPPS; ISSN: 0048-3575

LANGUAGE: English

AB Carboxin [5234-68-4] and the thiophene compound 3-methylthiophene-2-carboxanilide (I) [56776-44-4], inhibit succinate oxidation

(succinate-ubiquinone reductase [9028-11-9]; complex II) in mitochondria from a wild-type strain and 3 mutant carboxin-resistant strains of *A. nidulans*. Studies by White et al. (1978) showed that certain oxathiin carboxamide structures were selectively active against particular mutated succinate dehydrogenase complexes (SDCs) of *A. nidulans*, significantly lowering the level of resistance. Although no oxathiin carboxamides were neg. correlated to carboxin with respect to their effect on SDCs from wild-type and mutant strains of *A. nidulans*, several could distinguish between moderately and highly carboxin-resistant SDCs and, between the 2 non-allelic highly carboxin-resistant mutants cbx B-28 and cbx C-34. Variation in the mol. structure of thiophene carboxamides can also affect the phenotypic expression of mutations to carboxin resistance in the SDC of *A. nidulans*, with certain structures being capable of differentiating between moderately and highly carboxin-resistant mutated SDCs. With a moderately carboxin-resistant mutant, cbx A-17, a wide structural variety of thiophene carboxamides, e.g., the 2'-methyl, 2'-benzoyl, 3'-phenoxy, 4'-butyl and the N-hexyl derivs. of I, did exhibit neg. activity correlation to the parent anilide I. However, with the possible exception of the 4'-Bu and 4'-octyloxy [76655-95-3] analogs of I, thiophene carboxamides showed no neg. activity correlation to carboxin or I for the highly carboxin-resistant mutants cbx B-28 and cbx C-34. As with carboxin-resistant mutants of *Ustilago maydis*, mol. selectivity for mutated carboxin-resistant SDCs of *A. nidulans* can be markedly influenced by substitution of an oxathiin with a thiophene heterocyclic ring. None of the thiophene carboxamides were considerably toxic to mycelial growth of the wild-type and carboxin-resistant strains of *A. nidulans*, with permeability rather than affinity for the SDC appearing to be the limiting factor. For certain derivs. such as the 5-amino [79822-83-6] analog of I, SDC activity and cell growth were inhibited similarly. Several thiophene carboxamides (2'-phenyl [76655-80-6], 4'-phenoxy [76656-14-9], and N-decyl [76656-03-6] analogs of I) showed specificity for the highly carboxin-resistant mutants cbx B-28 and cbx C-34. Thiophene carboxamide structures were identified which inhibit spore germination of non-Basidiomycete plant pathogens, particularly *Phytophthora infestans* and *Verticillium dahliae*. In vivo expts. with late blight (*P. infestans*) on tomato plants showed that a few thiophene carboxamides, e.g., the 3'-butyl [76655-84-0] analog of I, give satisfactory protection.

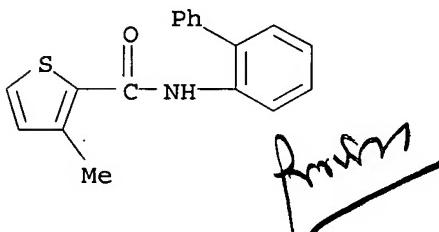
IT 76655-80-6

RL: BIOL (Biological study)

(fungicidal activity of and succinate dehydrogenase of *Aspergillus nidulans* response to, structure in relation to)

RN 76655-80-6 HCPLUS

CN 2-Thiophenecarboxamide, N-[1,1'-biphenyl]-2-yl-3-methyl- (CA INDEX NAME)



L20 ANSWER 6 OF 6 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1981:115825 HCPLUS
 DOCUMENT NUMBER: 94:115825
 ORIGINAL REFERENCE NO.: 94:18850h, 18851a

TITLE: Thiophene carboxamide fungicides: structure-activity relationships with the succinate dehydrogenase complex from wild-type and carboxin-resistant mutant strains of *Ustilago maydis*
 AUTHOR(S): White, G. A.; Thorn, G. D.
 CORPORATE SOURCE: Res. Inst., Agric. Canada, London, ON, N6A 5B7, Can.
 SOURCE: Pesticide Biochemistry and Physiology (1980), 14(1), 26-40
 CODEN: PCBPPS; ISSN: 0048-3575
 DOCUMENT TYPE: Journal
 LANGUAGE: English

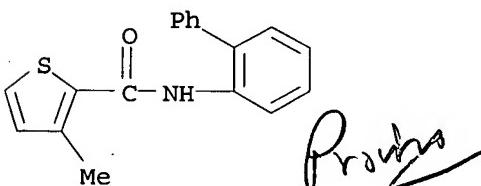
AB A variety of thiophene carboxamide compds. were synthesized and tested on the succinate dehydrogenase [9002-02-2] complex (SDC) in mitochondria from a wild-type strain and carboxin (I) [5234-68-4]-resistant strains of *U. maydis* (corn smut). The action site of thiophene carboxamides is identical to that of I and thenoyltrifluoroacetone, i.e., the succinate-ubiquinone reductase (complex II) span in the mitochondrial electron transfer chain. This investigation reveals new mol. structures which are strong inhibitors of wildtype and I-resistant SDCs. The 5-amino analog of the parent anilide 3-methylthiophene-2-carboxanilide (II) [56776-44-4] proved to be an especially potent inhibitor of the wild-type SDC (IC₅₀, 0.019 μM). Analogs of II such as the 4'-carboethoxy [76656-08-1], 4-butyl [76656-09-2], 4-phenyl [76656-10-5], and 4'-benzoyl [76656-11-6] derivs. were neg. correlated in activity to II with respect to resistance level. A number of structures showed considerable selectivity for mutated SDCs from both highly and (particularly) moderately I-resistant SDCs of *U. maydis*, markedly lowering the resistance level, i.e., the degree of resistance. Thus, in addition to the oxathiins, specific structural groups of thiophene carboxamide can also alleviate or reverse the effect of I-selected mutation with reference to inhibition of the SDC. Mol. selectivity for mutated, I-resistant SDCs can be influenced by replacement of an oxathiin by a thiophene heterocyclic ring as well as by the substitutive group on the amide N, permitting different categories of mutant types and even mutants within a single category to be distinguished from one another. With all the structural combinations available, it appears quite possible, in terms of inhibition, to overcome any type of mutation in a fungal SDC which arises through selection by I or other carboxamide compds. A correlation generally exists between resistant strains of *U. maydis*. A permeability barrier to 4'-substituted analogs of II was encountered in the wild-type strain, but not mutant strains. Excellent protectant activity against bean rust (*Uromyces phaseoli*) was obtained with 3'-hexyl [76656-12-7], 3'-hexyloxy [76656-13-8], and 4'-phenoxy [76656-14-9] analogs of II.

IT 76655-80-6

RL: BIOL (Biological study)
 (succinate dehydrogenase complex of *Ustilago maydis* wild-type and carboxin-resistant strains in response to)

RN 76655-80-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-[1,1'-biphenyl]-2-yl-3-methyl- (CA INDEX NAME)



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=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	78.85	1001.05
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.60	-10.40

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